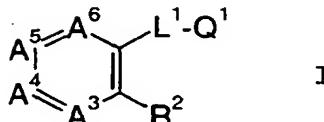




What is claimed is:

1. A compound of formula I



5

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>;

10 wherein

R<sup>3</sup> is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

15 one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>- , R<sup>g</sup>NH-, R<sup>h</sup>SO<sub>2</sub>- , hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R<sup>f</sup>O<sub>2</sub>C(CH<sub>2</sub>)<sub>2</sub>- ;

20 the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;

25 in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>- ; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino; or each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen; and R<sup>5</sup> is vinyl,

30 2-cyanovinyl, 2-((1-2C)alkoxy)carbonylvinyl or R<sup>a</sup> in which R<sup>a</sup> is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon

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and may bear one or more methyl substituents on carbon or nitrogen);

L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

Q<sup>1</sup> is 2-pyridinyl (which bears a methyl, methoxy,

5 methylthio, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, 10 fluoro or chloro substituent at the 6-position);

R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-,

-NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>-, -NH-C(CH<sub>3</sub>)H-,

-N(CH<sub>3</sub>)-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> 15 or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>,

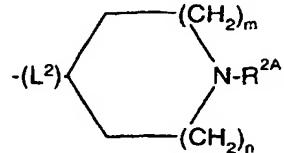
-NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>, -NH-CH<sub>2</sub>-Q<sup>2A</sup>,

-NH-C(CH<sub>3</sub>)H-Q<sup>2A</sup>, -N(CH<sub>3</sub>)-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>,

-NH-CO-Q<sup>2C</sup>, -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is

20



in which

each of m and n independently is 0 or 1, or m is 2 and

25 n is 1, and

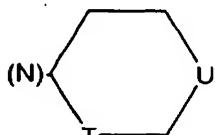
R<sup>2A</sup> is hydrogen, t-butyl, methysulfonyl, -CHRYR<sup>Z</sup>, -CHR<sup>W</sup>R<sup>X</sup>, or 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position) wherein

R<sup>V</sup> is methyl, hydroxymethyl, ((1-2C)alkoxy)carbonyl;

30 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

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each of R<sup>w</sup> and R<sup>x</sup> independently is hydrogen or (1-3C)normal alkyl; or -CHR<sup>w</sup>R<sup>x</sup> is 2-indanyl or (showing the nitrogen to which it is attached) is



5

- in which T is a single bond or methylene and U is methylene, ethylene, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is  
 10 ethan-1,1-diyl and U is a single bond or methylene;  
 RY is hydrogen or methyl; and  
 R<sup>z</sup> is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and  
 15 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon  
 20 and may bear one or more methyl substituents on carbon or nitrogen);  
 or R<sup>2A</sup> is -L<sup>b</sup>-CH<sub>2</sub>-R<sup>b</sup> in which -L<sup>b</sup>- is a direct bond, -CH<sub>2</sub>-, -C(CH<sub>3</sub>)H- or -CH<sub>2</sub>-CH<sub>2</sub>-; and R<sup>b</sup> is carboxy, ((1-2C)alkoxy)carbonyl, cyano, carbamoyl or trifluoromethyl;  
 25 or R<sup>2A</sup> is -CO-R<sup>c</sup> in which R<sup>c</sup> is hydrogen, (1-3C)alkyl, ((1-2C)alkoxy)carbonyl-(CH<sub>2</sub>)<sub>c</sub>- (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a 5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is  
 30

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a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or -NR<sup>d</sup>R<sup>e</sup> in which each of R<sup>d</sup> and R<sup>e</sup> is

5 independently hydrogen, methyl or ethyl, or -NR<sup>d</sup>R<sup>e</sup> is pyrrolidino, piperidino, morpholino or thiomorpholino;

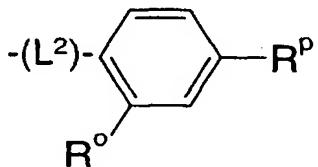
Q<sup>2B</sup> is 1-piperazinyl which bears at the 4-position the group R<sup>2A</sup> (defined as above);

10 Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NR<sup>s</sup>R<sup>t</sup> in which each of R<sup>s</sup> and R<sup>t</sup> independently is hydrogen or methyl or R<sup>s</sup> and R<sup>t</sup> together are trimethylene or tetramethylene;

15 Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NR<sup>s</sup>R<sup>t</sup> (defined as above); and

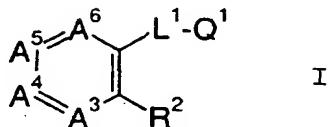
Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



20 in which R<sup>o</sup> is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R<sup>p</sup> is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or -J-R<sup>q</sup> in which J is a single bond, 25 methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2), or -NR<sup>r</sup>- (wherein R<sup>r</sup> is hydrogen or methyl); and R<sup>q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or -NR<sup>q</sup>R<sup>r</sup> is pyrrolidino.

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2. The compound of formula I as claimed in Claim 1



- 5 (or a pharmaceutically acceptable salt thereof) wherein:  
A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to  
which they are attached, complete a substituted benzene in  
which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>;  
wherein

10 R<sup>3</sup> is hydrogen, methyl, fluoro, chloro or carboxy;  
one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo,  
trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-,  
HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-,  
R<sup>g</sup>NH- or R<sup>h</sup>SO<sub>2</sub>-;

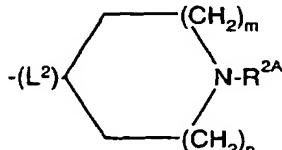
15 the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and  
R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;  
in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is  
hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;  
L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

20 Q<sup>1</sup> is 2-pyridinyl (which bears a methyl, methoxy,  
methylthio, fluoro or chloro substituent at the 5-position),  
3-pyridinyl (which bears a methyl, fluoro or chloro  
substituent at the 6-position), 2-pyrimidinyl (which may  
bear a methyl, fluoro or chloro substituent at the  
25 5-position) or 3-pyridazinyl (which may bear a methyl,  
fluoro or chloro substituent at the 6-position);  
R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-,  
-NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is  
Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or  
30 methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected  
from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>,

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$-\text{NH}-\text{CH}_2-\text{Q}^{2A}$ ,  $-\text{O}-\text{CH}_2-\text{Q}^{2A}$ ,  $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2B}$ ,  $-\text{NH}-\text{CO}-\text{Q}^{2C}$ ,  
 $-\text{NH}-\text{CO}-\text{Q}^{2D}$ ,  $-\text{NH}-\text{CO}-\text{Q}^{2E}$  and  $-\text{NH}-\text{CO}-\text{Q}^{2F}$  in which:

$\text{Q}^{2A}$  (showing the  $\text{L}^2$  to which it is attached) is



5

in which

each of  $m$  and  $n$  independently is 0 or 1, and

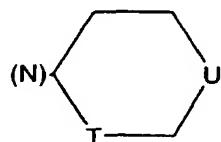
$\text{R}^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-\text{CHRYR}^Z$ ,

10  $-\text{CHR}^W\text{R}^X$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $\text{R}^V$  at the 2- or 3-position) wherein

$\text{R}^V$  is methyl, hydroxymethyl,  $\{(1-2\text{C})\text{alkoxy}\}\text{carbonyl}$ , cyano, carbamoyl, thiocarbamoyl, or  $\text{N}$ -hydroxyamidino;

each of  $\text{R}^W$  and  $\text{R}^X$  independently is hydrogen or

15  $(1-3\text{C})\text{normal alkyl}$ ; or  $-\text{CHR}^W\text{R}^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



20 in which  $\text{T}$  is a single bond or methylene and  $\text{U}$  is methylene, ethylene, oxy,  $-\text{S}(\text{O})_q-$  (wherein  $q$  is 0, 1 or 2) or imino (which may bear a methyl substituent), or  $\text{T}$  is ethan-1,1-diyl and  $\text{U}$  is a single bond or methylene;

$\text{R}^Y$  is hydrogen or methyl; and

25  $\text{R}^Z$  is isopropyl, t-butyl,  $(3-6\text{C})\text{cycloalkyl}$ , phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

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5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon 5 and may bear one or more methyl substituents on carbon or nitrogen);

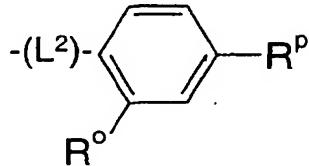
Q<sup>2B</sup> is 1-piperazinyl which bears at the 4-position the group R<sup>2A</sup> (defined as above);

10 Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NR<sup>s</sup>R<sup>t</sup> in which each of R<sup>s</sup> and R<sup>t</sup> independently is hydrogen or methyl or R<sup>s</sup> and R<sup>t</sup> together are trimethylene or tetramethylene;

15 Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NR<sup>s</sup>R<sup>t</sup> (defined as above); and

Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



20 in which R<sup>O</sup> is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and R<sup>P</sup> is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or -J-R<sup>Q</sup> in which J is a single bond, 25 methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2), or -NRR<sup>r</sup>- (wherein R<sup>r</sup> is hydrogen or methyl); and R<sup>Q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

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3. A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

$A^3$ ,  $A^4$ ,  $A^5$  and  $A^6$ , together with the two carbons to which they are attached, complete a substituted benzene in which  $A^3$  is  $CR^3$ ,  $A^4$  is  $CR^4$ ,  $A^5$  is  $CR^5$ , and  $A^6$  is  $CR^6$ ;

5 wherein

$R^3$  is hydrogen;

one of  $R^4$  and  $R^5$  is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy,  $R^fO_2C-$  or  $R^gNH-$ ;

10 the other of  $R^4$  and  $R^5$  is hydrogen; and

$R^6$  is hydrogen;

in which  $R^f$  is hydrogen, (1-4C)alkyl or benzyl;  $R^g$  is hydrogen or  $R^hSO_2-$ ; and  $R^h$  is (1-4C)alkyl or dimethylamino;  $L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

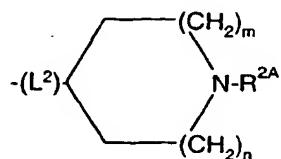
15  $Q^1$  is 2-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl

20 (which may bear a methyl, fluoro or chloro substituent at the 6-position);

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,  $-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$  wherein X is a single bond or methylene and the values of  $L^2$  and  $Q^2$  are together selected from  $-NH-CO-X-Q^{2A}$ ,  $-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,  $-NH-CH_2-Q^{2A}$ ,  $-O-CH_2-Q^{2A}$ ,  $-NH-CO-X-Q^{2B}$ ,  $-NH-CO-Q^{2C}$ ,  $-NH-CO-Q^{2D}$ ,  $-NH-CO-Q^{2E}$  and  $-NH-CO-Q^{2F}$  in which:

$Q^{2A}$  (showing the  $L^2$  to which it is attached) is

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in which

each of m and n independently is 0 or 1, and

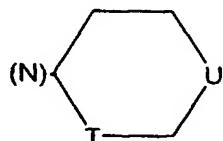
R<sup>2A</sup> is hydrogen, -CHRYR<sup>Z</sup>, -CHR<sup>W</sup>R<sup>X</sup>, or 4-pyridinyl

5 (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position) wherein

R<sup>V</sup> is methyl, hydroxymethyl, {(1-2C)alkoxy}carbonyl; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of R<sup>W</sup> and R<sup>X</sup> independently is hydrogen or

10 (1-3C)normal alkyl; or -CHR<sup>W</sup>R<sup>X</sup> is 2-indanyl or (showing the nitrogen to which it is attached) is



15 in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl

20 (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

5-membered aromatic ring which includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is

25 a 6-membered aromatic ring which includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

Q<sup>2B</sup> is 1-piperazinyl which bears at the 4-position the

30 group R<sup>2A</sup> (defined as above);

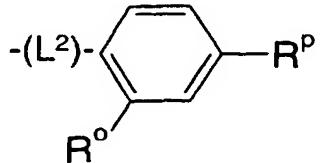
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Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>T</sup> in which each of R<sup>S</sup> and R<sup>T</sup> independently is 5 hydrogen or methyl or R<sup>S</sup> and R<sup>T</sup> together are trimethylene or tetramethylene;

Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NR<sup>S</sup>R<sup>T</sup> (defined as above); and

Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



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in which R<sup>o</sup> is hydrogen and R<sup>p</sup> is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl,

15 dimethylaminosulfonyl or -J-R<sup>q</sup> in which J is a single bond, methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2), or -NRR<sup>r</sup>- (wherein R<sup>r</sup> is hydrogen or methyl); and R<sup>q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

20 4. The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

25 5. The compound of any of Claims 1-4 wherein Q<sup>1</sup> is 5-chloropyridin-2-yl, 5-fluoropyridin-2-yl, or 30 6-chloropyridazin-3-yl.

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6. The compound of any of Claims 1-5 wherein R<sup>2</sup> is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
5 pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
danyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

10

7. The compound as claimed in any of Claims 1-6  
wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

8. The compound as claimed in any of Claims 1-6  
15 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro  
or fluoro.

9. The compound as claimed in any of Claims 1, 4, 5  
and 6 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is R<sup>a</sup>  
20 wherein R<sup>a</sup> is phenyl, furanyl, thienyl, 2-isothiazolyl or  
pyridyl.

10. The pharmaceutically acceptable salt of a compound  
of formula I as claimed in any of Claims 1-9 which is an  
acid-addition salt made from a basic compound of formula I  
25 and an acid which provides a pharmaceutically acceptable  
anion or a salt which is made from an acidic compound of  
formula I and a base which provides a pharmaceutically  
acceptable cation.

30

11. A pharmaceutical formulation comprising in  
association with a pharmaceutically acceptable carrier,  
diluent or excipient, a novel compound of formula I (or a

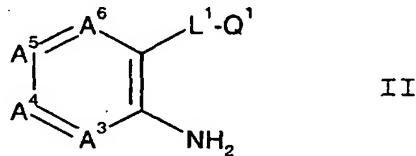
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pharmaceutically acceptable salt thereof) as provided in any of Claims 1-10.

12. A process for preparing a compound of formula I  
5 (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

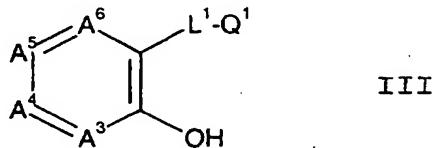
(A) for a compound of formula I in which  $-L^2-Q^2$ , is  $-NH-CO-Q^2$ ,  $-NH-CO-X-Q^2$ ,  $-NH-CO-O-X-Q^2$  or  $-NH-CO-NH-X-Q^2$ , acylating an amine of formula II,

10



using a corresponding acid of formula  $HO-CO-Q^2$ ,  $HO-CO-X-Q^2$ ,  $HO-CO-O-X-Q^2$ , or  $HO-CO-NH-X-Q^2$ , or an activated derivative 15 thereof;

(B) for a compound of formula I in which  $-L^2-Q^2$  is  $-O-CH_2-Q^2A$ , alkylating a phenol of formula III

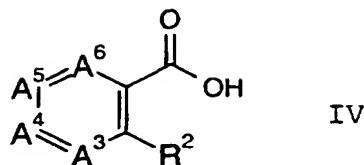


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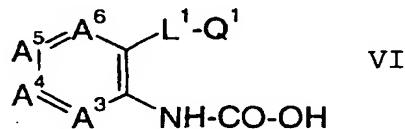
using a reagent of formula  $Y-CH_2-Q^2A$  in which Y is a conventional leaving group;

(C) acylating an amine of formula  $H_2N-Q^1$ , or a deprotonated derivative thereof, using an acid of formula 25 IV, or an activated derivative thereof;

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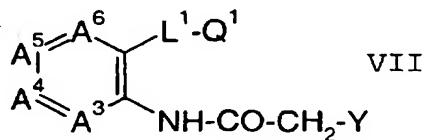


- (D) for a compound of formula I in which R<sup>2</sup> is -NH-CH<sub>2</sub>-Q<sup>2A</sup>, alkylating an amine of formula II directly,  
 5 using a compound of formula Y-CH<sub>2</sub>-Q<sup>2A</sup>, or indirectly by reductive alkylation using an aldehyde of formula Q<sup>2A</sup>-CHO;
- (E) for a compound of formula I in which R<sup>2</sup> is -NH-CO-O-X-Q<sup>2A</sup>, or -NH-CO-NH-X-Q<sup>2A</sup>, acylating an alcohol of formula HO-X-Q<sup>2A</sup> or an amine of formula NH<sub>2</sub>-X-Q<sup>2A</sup>, using an  
 10 activated derivative of an acid of formula VI;



- (F) for a compound of formula I in which R<sup>2</sup> is -NH-CO-X-Q<sup>2B</sup> in which X is a single bond, acylating at the 15 1-position a piperazine of formula H-Q<sup>2B</sup>, using an activated derivative of an acid of formula VI;

- (G) for a compound of formula I in which R<sup>2</sup> is -NH-CO-X-Q<sup>2B</sup> in which X is methylene, alkylating at the 20 1-position a piperazine of formula H-Q<sup>2B</sup>, using an alkylating agent of formula VII



in which Y is a leaving group;

- (H) for a compound of formula I in which R<sup>2A</sup> is 25 methylsulfonyl, substituting the amino nitrogen of a

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corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R<sup>2A</sup> is -CHRYR<sup>Z</sup> or -CHRWR<sup>X</sup>, alkylating the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an alkylating agent of formula Y-CHRYR<sup>Z</sup> or Y-CHRWR<sup>X</sup> or reductively alkylating the amine using a compound of formula RY-CO-R<sup>Z</sup> or RW-CO-R<sup>X</sup>;

(J) for a compound of formula I in which R<sup>2A</sup> is 10 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

(K) for a compound of formula I in which R<sup>2A</sup> is 15 4-pyridinyl in which R<sup>V</sup> is alkoxy carbonyl, esterifying a corresponding compound of formula I in which R<sup>V</sup> is carboxy;

(L) for a compound of formula I in which R<sup>2A</sup> is 20 4-pyridinyl in which R<sup>V</sup> is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxy carbonyl;

(M) for a compound of formula I in which R<sup>2A</sup> is 25 4-pyridinyl in which R<sup>V</sup> is carbamoyl, amidating the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxy carbonyl;

(N) for a compound of formula I in which R<sup>2A</sup> is 30 4-pyridinyl in which R<sup>V</sup> is thiocarbamoyl, adding H<sub>2</sub>S to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

(O) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is N-hydroxy amidino, adding H<sub>2</sub>NOH to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

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(P) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is carboxy, decomposing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxy carbonyl;

5 (Q) for a compound of formula I in which -NRS<sup>t</sup> is other than amino, alkylating a corresponding compound of formula I in which -NRS<sup>t</sup> is amino using a conventional method;

10 (R) for a compound of formula I which bears -NRS<sup>t</sup>, reductively alkylating H-NRS<sup>t</sup> using a corresponding compound but in which the carbon to bear the -NRS<sup>t</sup> group bears an oxo group;

15 (S) for a compound of formula I in which RP is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which RP is acetyl using an organometallic reagent;

20 (T) for a compound of formula I in which RP is 1-methoxy-1-methylethyl, treating a corresponding compound of formula I in which RP is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which R<sup>4</sup> or R<sup>5</sup> is nitro;

25 (V) for a compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is R<sup>9</sup>NH- and R<sup>9</sup> is R<sup>h</sup>SO<sub>2</sub>-, substituting the amino group of a corresponding compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is amino using an activated derivative of the sulfonic acid R<sup>h</sup>SO<sub>2</sub>-OH;

30 whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a

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basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a physiologically acceptable counterion or by any other  
5 conventional procedure;

and wherein, unless otherwise specified, A<sup>3</sup>-A<sup>6</sup>, L<sup>1</sup>, Q<sup>1</sup> and R<sup>2</sup> have any of the values defined in Claim 1 or 2.

13. A method of inhibiting factor Xa comprising  
10 administering to a mammal in need of treatment, a compound of formula I as provided in any of Claims 1-10.

14. The use of a factor Xa inhibiting compound of formula I substantially as hereinbefore described with  
15 reference to any of the examples.

15. A novel compound of formula I substantially as hereinbefore described with reference to any of the examples.

20 16. A process for preparing a novel compound of formula I substantially as hereinbefore described with reference to any of the examples.